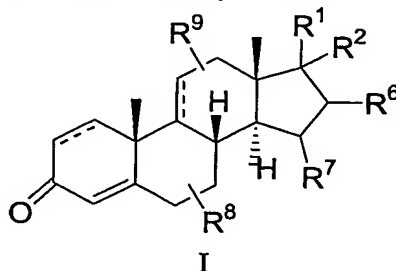


WHAT IS CLAIMED IS:

1. A compound represented by Formula I

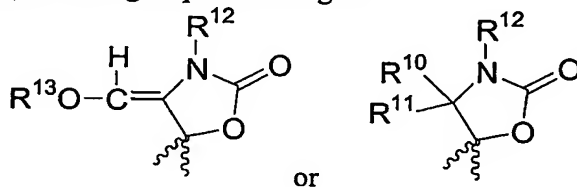


or a pharmaceutically acceptable salt or hydrate thereof, wherein:

R¹ is -C(O)-R⁵;

10 R² is -O-C(O)-N(R³) (R⁴), and

or R¹ and R² are joined so that together with the carbon atom to which they are attached there is formed a group selected from the group consisting of



15 R¹³ is hydrogen or -C(O)-CH₃;

R³, R⁶, R⁷ and R¹² are independently selected from the group consisting of

- (1) hydrogen, and
- (2) C₁₋₃alkyl;

R⁴ is selected from the group consisting of

- (1) C₁₋₁₀alkyl,
- (2) C₂₋₆alkenyl,
- (3) aryl, wherein aryl is selected from the group consisting of phenyl and naphthyl,
- (4) heteroaryl, wherein the heteroaryl is selected from the group consisting of pyridyl, furanyl, thienyl and imidazolyl,
- (5) C₁₋₆alkyl-aryl, wherein aryl is selected from the group consisting of phenyl and naphthyl,

(6) -C₁-6alkyl-heteroaryl, wherein the heteroaryl is selected from the group consisting of pyridyl, furanyl, thienyl and imidazolyl, wherein choices (1) and (2) and the alkyl portion of choices (5) and (6) are optionally mono- di- or tri-substituted with substituents independently selected from the group consisting of -OH, -OCH₃, -OCF₃, -COCH₃, -CO₂CH₃, -CONH₂, -CN, -SO₂CH₃, -SO₂CH₃, -SO₂NH₂, F, Cl, Br, and -CF₃ and wherein choices (3) and (4) and the aryl and heteroaryl portion of choices (5) and (6) are optionally mono- or di- substituted with substituents independently selected from the group consisting of -OH, -OCH₃, -OCF₃, -COCH₃, -CO₂CH₃, -CONH₂, -CN, -SO₂CH₃, -SO₂CH₃, -SO₂NH₂, F, Cl, Br, and -CF₃;

or R₃ and R₄ are joined so that together with the nitrogen atom to which they are attached is formed a ring of 5, 6, 7 or 8 carbon atoms, the ring being optionally substituted with -C₁-6 alkyl or -C₁-6alkenyl;

R⁵ is each independently selected from the group consisting of

- (1) hydrogen,
- (2) C₁-6alkyl,
- (3) C₁-6alkyl, substituted with hydroxy,
- (4) C₁-6alkyl, mono or di-substituted with halo,
- (5) -C₁-6alkyl-O-C(O)-C₁-4alkyl,
- (6) -C₁-6alkyl-O-C(O)-C₁-4alkyl, optionally mono or di-substituted with halo, hydroxy or methyl;
- (7) -C₁-6alkyl-S(O)_n-C₁-4alkyl, optionally mono or di-substituted with halo, hydroxy or methyl; and
- (8) C₂-6alkenyl,

wherein n is 0, 1 or 2;

R⁸ and R⁹ are each independently selected from the group consisting of

- (1) hydrogen,
- (2) halo,
- (3) hydroxy,
- (4) C₁-6alkyl,
- (5) C₂-6alkenyl, and
- (6) phenyl,

wherein choices (4), (5) and (6) are optionally mono- or di- substituted with substituents independently selected from -OH, -OCH₃, -OCF₃, -COCH₃, -CO₂CH₃, -CONH₂, -CN, -SO₂CH₃, -SO₂CH₃, -SO₂NH₂, F, Cl, Br, and -CF₃,

R¹⁰ is selected from the group consisting of

- 5 (1) C₁-6alkyl,
- (2) C₁-6alkyl, substituted with hydroxy or -OR¹³,
- (3) C₁-6alkyl, mono or di-substituted with halo,
- (4) -C₁-6alkyl-O-C(O)-C₁-4alkyl,
- 10 (5) -C₁-6alkyl-O-C(O)-C₁-4alkyl, optionally mono or di-substituted with halo, hydroxy or methyl;
- (6) -C₁-6alkyl-S(O)_n-C₁-4alkyl, optionally mono or di-substituted with halo, hydroxy or methyl;

wherein n is 0, 1 or 2;

R¹¹ is selected from the group consisting of

- 15 (1) hydrogen,
- (2) hydroxy,
- (3) C₁-6alkyl,
- (4) C₁-6alkyl, substituted with hydroxy,
- (5) C₁-6alkyl, mono or di-substituted with halo,
- 20 (6) -C₁-6alkyl-O-C(O)-C₁-4alkyl,
- (7) -C₁-6alkyl-O-C(O)-C₁-4alkyl, optionally mono or di-substituted with halo, hydroxy or methyl;
- (8) -C₁-6alkyl-S(O)_k-C₁-4alkyl, optionally mono or di-substituted with halo, hydroxy or methyl;

25 wherein k is 0, 1 or 2.

2. A compound according to Claim 1 or a pharmaceutically acceptable salt or hydrate thereof, wherein:

R⁶ is hydrogen or methyl.

3. A compound according to Claim 1 or a pharmaceutically acceptable salt or hydrate thereof, wherein:

R³ is hydrogen.

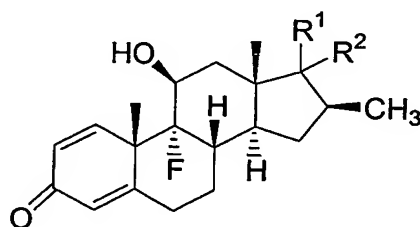
4. A compound according to Claim 1 or a pharmaceutically acceptable salt or hydrate thereof, wherein:
R⁷ is hydrogen.

5. A compound according to Claim 1 or a pharmaceutically acceptable salt or hydrate thereof, wherein:
R⁸ is halo.

6. A compound according to Claim 1 or a pharmaceutically acceptable salt or hydrate thereof, wherein:
R⁹ is hydroxy.

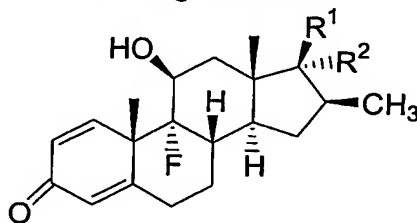
7. A compound according to Claim 1 or a pharmaceutically acceptable salt or hydrate thereof, wherein:
R³ is hydrogen, R⁶ is hydrogen or methyl and R⁷ is hydrogen.

8. A compound according to Claim 7 or a pharmaceutically acceptable salt or hydrate thereof, wherein:



Ia

9. A compound according Formula Ib



Ib

or a pharmaceutically acceptable salt or hydrate thereof, wherein:
R¹ is -C(O)-R⁵;

R² is -O-C(O)-N(H) (R⁴), and

R⁴ is selected from the group consisting of

- (1) C₁₋₁₀alkyl,
 - (2) C₂₋₆alkenyl,
 - (3) aryl, wherein aryl is selected from the group consisting of phenyl and naphthyl,
 - (4) heteroaryl, wherein the heteroaryl is selected from the group consisting of pyridyl, furanyl, thienyl and imidazolyl,
 - (5) C₁₋₆alkyl-aryl, wherein aryl is selected from the group consisting of phenyl and naphthyl,
 - (6) -C₁₋₆alkyl-heteroaryl, wherein the heteroaryl is selected from the group consisting of pyridyl, furanyl, thienyl and imidazolyl,
- wherein choices (1) and (2) and the alkyl portion of choices (5) and (6) are optionally mono- di- or tri-substituted with substituents independently selected from the group consisting of -OH, -OCH₃, -OCF₃, -COCH₃, -CO₂CH₃, -CONH₂, -CN, -SO₂CH₃, -SO₂CH₃, -SO₂NH₂, F, Cl, Br, and -CF₃ and wherein choices (3) and (4) and the aryl and heteroaryl portion of choices (5) and (6) are optionally mono- or di- substituted with substituents independently selected from the group consisting of -OH, -OCH₃, -OCF₃, -COCH₃, -CO₂CH₃, -CONH₂, -CN, -SO₂CH₃, -SO₂CH₃, -SO₂NH₂, F, Cl, Br, and -CF₃;

R⁵ is each independently C₁₋₆alkyl, substituted with hydroxy, or C₁₋₆alkyl-O-C(O)-C₁₋₄alkyl.

10. A compound which is

(11 β ,16 β)-9-Fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl ethylcarbamate,

(11 β ,16 β)-21-(acetyloxy)-9-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl ethylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl (1*R*)-1-phenylethylcarbamate,

(11 β ,16 β)-21-(acetyloxy)-9-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl propylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl

propylcarbamate,

- (11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
isopropylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
allylcarbamate,
5 (11 β ,16 β)-21-(acetyloxy)-9-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
butylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
butylcarbamate,
(11 β ,16 β)-21-(acetyloxy)-9-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl sec-
10 butylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl sec-
butylcarbamate,
(11 β ,16 β)-21-(acetyloxy)-9-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl tert-
butylcarbamate,
15 (11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl tert-
butylcarbamate,
(11 β ,16 β)-21-(acetyloxy)-9-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
pentylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
20 pentylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
cyclopentylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxo-pregna-1,4-dien-17-yl 1,1,2,2-
tetramethyl-propylcarbamate,
25 (11 β ,16 β)-21-(acetyloxy)-9-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
(1*R*)-1-phenylethylcarbamate,
(11 β ,16 β)-21-(acetyloxy)-9-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
(1*S*)-1-phenylethylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl (1*S*)-1-
30 phenylethylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl (1*S*)-1-
(methoxycarbonyl)-ethylcarbamate,
(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
phenylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
cyclohexylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl 1-
adamantylcarbamate,

5 (11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl 2-(1-
adamantyl)-1,1-dimethylethylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
dicyclopropylmethylcarbamate,

10 (11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl spiro[2.4]hept-
1-ylmethylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl 1,1-
dimethylbutylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl 1-
methylbutylcarbamate,

15 (11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl 1,3-
dimethylbutylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
isopentylcarbamate,

20 (11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl 3,3-
dimethylbutylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl *tert*-
pentylcarbamate,

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
neopentylcarbamate,

25 (11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl 1,2-
dimethylpropylcarbamate, or

(11 β ,16 β)-9-fluoro-11,21-dihydroxy-16-methyl-3,20-dioxopregna-1,4-dien-17-yl
propylcarbamate or a pharmaceutically acceptable salt or hydrate thereof.

30 11. A pharmaceutical composition comprising a compound according to
Claim 1 in combination with a pharmaceutically acceptable carrier.

12. A method for treating a glucocorticoid receptor mediated disease or
condition in a mammalian patient in need of such treatment comprising administering the patient

a compound according to Claim 1 in an amount that is effective for treating the glucocorticoid receptor mediated disease or condition.

13. The method according to Claim 19 wherein the glucocorticoid receptor mediated disease or condition is selected from the group consisting of: tissue rejection, leukemias, lymphomas, Cushing's syndrome, acute adrenal insufficiency, congenital adrenal hyperplasia, rheumatic fever, polyarteritis nodosa, granulomatous polyarteritis, inhibition of myeloid cell lines, immune proliferation/apoptosis, HPA axis suppression and regulation, hypercortisolemia, stroke and spinal cord injury, hypercalcemia, hyperglycemia, acute adrenal insufficiency, chronic primary adrenal insufficiency, secondary adrenal insufficiency, congenital adrenal hyperplasia, cerebral edema, thrombocytopenia, Little's syndrome, obesity, metabolic syndrome, inflammatory bowel disease, systemic lupus erythematosus, polyartitis nodosa, Wegener's granulomatosis, giant cell arteritis, rheumatoid arthritis, juvenile rheumatoid arthritis, uveitis, hay fever, allergic rhinitis, urticaria, angioneurotic edema, chronic obstructive pulmonary disease, asthma, tendonitis, bursitis, Crohn's disease, ulcerative colitis, autoimmune chronic active hepatitis, organ transplantation, hepatitis, cirrhosis, inflammatory scalp alopecia, panniculitis, psoriasis, discoid lupus erythematosus, inflamed cysts, atopic dermatitis, pyoderma gangrenosum, pemphigus vulgaris, bullous pemphigoid, systemic lupus erythematosus, dermatomyositis, herpes gestationis, eosinophilic fasciitis, relapsing polychondritis, inflammatory vasculitis, sarcoidosis, Sweet's disease, type I reactive leprosy, capillary hemangiomas, contact dermatitis, atopic dermatitis, lichen planus, exfoliative dermatitis, erythema nodosum, acne, hirsutism, toxic epidermal necrolysis, erythema multiform, cutaneous T-cell lymphoma, Human Immunodeficiency Virus (HIV), cell apoptosis, cancer, Kaposi's sarcoma, retinitis pigmentosa, cognitive performance, memory and learning enhancement, depression, addiction, mood disorders, chronic fatigue syndrome, schizophrenia, sleep disorders, and anxiety.

14. The method according to Claim 12 wherein the glucocorticoid receptor mediated disease or condition is selected from the group consisting of: tissue rejection, Cushing's syndrome, inflammatory bowel disease, systemic lupus erythematosus, rheumatoid arthritis, juvenile rheumatoid arthritis, hay fever, allergic rhinitis, asthma, organ transplantation, inflammatory scalp alopecia, psoriasis, discoid lupus erythematosus, and depression.

15. A method of selectively modulating the activation, repression, agonism and antagonism effects of the glucocorticoid receptor in a mammal comprising administering to

the mammal a compound according to Claim 1 in an amount that is effective to modulate the glucocorticoid receptor.

16. A method of partially or fully antagonizing, repressing agonizing or
5 modulating the glucocorticoid receptor in a mammal comprising administering to the mammal an effective amount of compound according to Claim 1.